

10/796,529

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(FILE 'HOME' ENTERED AT 15:23:27 ON 12 SEP 2006)

FILE 'BIOSIS, MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 15:24:27 ON  
12 SEP 2006

L1 1 S HCV AND BETA D 2 (2A) FLUORONUCLEOSIDE  
L2 14 S HEPATITIS AND FLUORONUCLEOSIDE  
L3 13 S L2 NOT L1  
L4 13 DUP REM L3 (0 DUPLICATES REMOVED)

=> s 14 and 2(2a) fluoronucleoside

L5 7 L4 AND 2(2A) FLUORONUCLEOSIDE

=> d 15 bib abs 1-7

L5 ANSWER 1 OF 7 USPATFULL on STN  
AN 2003:120823 USPATFULL  
TI Anti-HCV nucleoside derivatives  
IN Devos, Rene Robert, Welwyn Garden City, UNITED KINGDOM  
Hobbs, Christopher John, Hertford, UNITED KINGDOM  
Jiang, Wen-Rong, Welwyn Garden City, UNITED KINGDOM  
Martin, Joseph Armstrong, Harpenden, UNITED KINGDOM  
Merrett, John Herbert, Baldock, UNITED KINGDOM  
Najera, Isabel, St. Albans, UNITED KINGDOM  
PI US 2003083307 A1 20030501  
US 6660721 B2 20031209  
AI US 2002-106970 A1 20020326 (10)  
PRAI GB 2001-12617 20010523  
DT Utility  
FS APPLICATION  
LREP HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET,  
NUTLEY, NJ, 07110  
CLMN Number of Claims: 5  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 541  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The present invention comprises nucleoside derivatives for use in the  
treatment or prophylaxis of hepatitis C virus infections. In  
particular, the present invention discloses the novel use of known  
2'-deoxy-2'-fluoro nucleoside derivatives as inhibitors of  
hepatitis C virus (HCV) RNA replication and pharmaceutical  
compositions of such compounds. The compounds of this invention have  
potential use as therapeutic agents for the treatment of HCV infections.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 2 OF 7 USPATFULL on STN  
AN 2002:344441 USPATFULL  
TI 2'-fluoronucleosides  
IN Schinazi, Raymond F., Decatur, GA, UNITED STATES  
Liotta, Dennis C., McDonough, GA, UNITED STATES  
Chu, Chung K., Athens, GA, UNITED STATES  
McAtee, J. Jeffrey, Mobile, AL, UNITED STATES  
Shi, Junxing, Decatur, GA, UNITED STATES  
Choi, Yongseok, Athens, GA, UNITED STATES  
Lee, Kyeong, Athens, GA, UNITED STATES  
Hong, Joon H., Athens, GA, UNITED STATES  
PI US 2002198171 A1 20021226  
US 6911424 B2 20050628  
AI US 2002-61128 A1 20020130 (10)

RLI Continuation of Ser. No. US 1999-257130, filed on 25 Feb 1999, GRANTED,  
Pat. No. US 6348587  
PRAI US 1998-75893P 19980225 (60)  
US 1998-80569P 19980403 (60)  
DT Utility  
FS APPLICATION  
LREP KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763  
CLMN Number of Claims: 56  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 3626

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of 2'-fluoro-nucleoside compounds are disclosed which are useful  
in the treatment of hepatitis B infection, hepatitis  
C infection, HIV and abnormal cellular proliferation, including tumors  
and cancer. The compounds have the general formulae: ##STR1##

wherein

Base is a purine or pyrimidine base;

R.sup.1 is OH, H, OR.sup.3, N.sub.3, CN, halogen, including F, or  
CF.sub.3, lower alkyl, amino, loweralkylamino, di(lower)alkylamino, or  
alkoxy, and base refers to a purine or pyrimidine base;

R.sup.2 is H, phosphate, including monophosphate, diphosphate,  
triphosphate, or a stabilized phosphate prodrug; acyl, or other  
pharmaceutically acceptable leaving group which when administered in  
vivo, is capable of providing a compound wherein R.sup.2 is H or  
phosphate; sulfonate ester including alkyl or arylalkyl sulfonyl  
including methanesulfonyl, benzyl, wherein the phenyl group is  
optionally substituted with one or more substituents as described in the  
definition of aryl given above, a lipid, an amino acid, peptide, or  
cholesterol; and

R.sup.3 is acyl, alkyl, phosphate, or other pharmaceutically acceptable  
leaving group which when administered in vivo, is capable of being  
cleaved to the parent compound, or a pharmaceutically acceptable salt  
thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 3 OF 7 USPATFULL on STN  
AN 2002:34547 USPATFULL  
TI 2'-Fluoronucleosides  
IN Schinazi, Raymond F., Decatur, GA, United States  
Liotta, Dennis C., McDonough, GA, United States  
Chu, Chung K., Athens, GA, United States  
McAtee, J. Jeffrey, Atlanta, GA, United States  
Shi, Junxing, Decatur, GA, United States  
Choi, Yongseok, Athens, GA, United States  
Lee, Kyeong, Athens, GA, United States  
Hong, Joon H., Athens, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
University of Georgia Research Foundation, Inc., Athens, GA, United  
States (U.S. corporation)  
PI US 6348587 B1 20020219  
AI US 1999-257130 19990225 (9)  
PRAI US 1998-80569P 19980403 (60)  
US 1998-75893P 19980225 (60)  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Riley, Jezia  
LREP Knowles, Esq., Sherry M., Young, Josephine, King & Spalding

CLMN Number of Claims: 56  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 3564

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A class of 2'-fluoro-nucleoside compounds are disclosed which are useful in the treatment of hepatitis B infection, hepatitis C infection, HIV and abnormal cellular proliferation, including tumors and cancer. The compounds have the general formulae: ##STR1##

wherein

Base is a purine or pyrimidine base; R.sup.1 is OH, H, OR.sup.3, N.sub.3, CN, halogen, including F, or CF.sub.3, lower alkyl, amino, loweralkylamino, di(lower)alkylamino, or alkoxy, and base refers to a purine or pyrimidine base;

R.sup.2 is H, phosphate, including monophosphate, diphosphate, triphosphate, or a stabilized phosphate prodrug; acyl, or other pharmaceutically acceptable leaving group which when administered in vivo, is capable of providing a compound wherein R.sup.2 is H or phosphate; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl, benzyl, wherein the phenyl group is optionally substituted with one or more substituents as described in the definition of aryl given above, a lipid, an amino acid, peptide, or cholesterol; and

R.sup.3 is acyl, alkyl, phosphate, or other pharmaceutically acceptable leaving group which when administered in vivo, is capable of being cleaved to the parent compound, or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 4 OF 7 USPATFULL on STN

AN 2001:194415 USPATFULL

TI Therapeutic azide compounds

IN Chu, Chung K., Athens, GA, United States  
Kotra, Lakshmi P., Detroit, MI, United States  
Manouilov, Konstantine K., Omaha, NE, United States  
Du, Jinfa, Irvine, CA, United States  
Schinazi, Raymond, Decatur, GA, United States

PA University of Georgia Research Foundation, Inc. (U.S. corporation)

PI US 2001036930 A1 20011101

US 6949521 B2 20050927

AI US 2001-849870 A1 20010504 (9)

RLI Division of Ser. No. US 1998-33996, filed on 3 Mar 1998, GRANTED, Pat. No. US 6271212 Continuation of Ser. No. WO 1996-US14494, filed on 6 Sep 1996, UNKNOWN

PRAI US 1995-3383P 19950907 (60)

DT Utility

FS APPLICATION

LREP Henry D. Coleman, Coleman Sudol Sapone, PC, 14th Floor, 708 Third Avenue, New York, NY, 10017

CLMN Number of Claims: 23

ECL Exemplary Claim: 1

DRWN 7 Drawing Page(s)

LN.CNT 1760

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical prodrug compositions are provided comprising azide derivatives of drugs which are capable of being converted to the drug in vivo. Azide derivatives of drugs having amine, ketone and hydroxy substituents are converted in vivo to the corresponding drugs, increasing the half-life of the drugs. In addition azide prodrugs are

often better able to penetrate the blood-brain barrier than the corresponding drugs. Especially useful are azide derivatives of cordycepin, 2'-F-ara-ddI, AraA, acyclovir, penciclovir and related drugs. Useful azide prodrugs are azide derivatives of therapeutic alicyclic amines, ketones, and hydroxy-substituted compounds, including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compounds, where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines, nucleoside analogs and phosphorylated nucleoside analogs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 7 USPATFULL on STN  
AN 2001:125975 USPATFULL  
TI Prodrug azide compositions and compounds  
IN Chu, Chung K., Athens, GA, United States  
Kotra, Lakshimi, Detroit, MI, United States  
Manouilov, Kostantine K., Omaha, NE, United States  
Du, Jinfa, Irvine, CA, United States  
Schinazi, Raymond, Decatur, GA, United States  
PA University of Georgia Research Foundation Inc., Atlanta, GA, United States (U.S. corporation)  
Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 6271212 B1 20010807  
AI US 1998-33996 19980303 (9)  
RLI Continuation of Ser. No. WO 1996-US14494, filed on 6 Sep 1996  
PRAI US 1995-3383P 19950907 (60)  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Geist, Gary; Assistant Examiner: Crane, L Eric  
LREP Coleman, Henry D., Sudol, R. Neil, Sapone, William J.  
CLMN Number of Claims: 6  
ECL Exemplary Claim: 1,6  
DRWN 12 Drawing Figure(s); 7 Drawing Page(s)  
LN.CNT 1959

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Pharmaceutical prodrug compositions are provided comprising azide derivatives of drugs which are capable of being converted to the drug in vivo. Azide derivatives of drugs having amine, ketone and hydroxy substituents are converted in vivo to the corresponding drugs, increasing the half-life of the drugs. In addition azide prodrugs are often better able to penetrate the blood-brain barrier than the corresponding drugs. Especially useful are azide derivatives of cordycepin, 2'-F-ara-ddI, AraA, acyclovir, penciclovir and related drugs. Useful azide prodrugs are azide derivatives of therapeutic alicyclic amines, ketones, and hydroxy-substituted compounds, including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compounds, where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines, nucleoside analogs and phosphorylated nucleoside analogs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 6 OF 7 USPATFULL on STN  
AN 1999:22088 USPATFULL  
TI Treatment of urogenital cancer with boron neutron capture therapy  
IN Schinazi, Raymond F., Decatur, GA, United States  
Keane, Thomas E., Dunwoody, GA, United States  
Liotta, Dennis C., Stone Mountain, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5872107 19990216  
AI US 1997-792370 19970203 (8)  
RLI Continuation of Ser. No. US 1994-334759, filed on 4 Nov 1994, now patented, Pat. No. US 5599796 which is a continuation-in-part of Ser.

No. US 1993-161674, filed on 2 Dec 1993

DT Utility  
FS Granted  
EXNAM Primary Examiner: Wilson, James O.  
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding  
CLMN Number of Claims: 14  
ECL Exemplary Claim: 1  
DRWN 9 Drawing Figure(s); 7 Drawing Page(s)  
LN.CNT 2545

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods and compositions for treating urogenital tumors, and in particular, cancer of the prostate, bladder, and kidney, with BCNT, are disclosed. Any boron-containing compound that is sufficiently lipophilic to pass through the appropriate urogenital membranes in a quantity high enough to achieve therapy on irradiation with low-energy neutrons can be used. Carboranyl-containing nucleosides and oligonucleotides are particularly suited for use in BNCT of urogenital tumors. Preferred compounds include 5-carboranyl-2'-deoxyuridine (CDU) and 5-o-carboranyl-1-(2-deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)uracil (CFAU). Nucleosides and oligonucleotides bearing an -O-[(carboran-1-yl)alkyl]phosphate, S-[(carboran-1-yl)alkyl]phosphorothioate, or Se-[(carboran-1-yl)alkyl]phosphoroselenoate in place of the (carboran-1-yl)phosphonate moiety can be used. Oligonucleotides of specific gene sequences that include one or more 3',5'-linking-(carboran-1-yl)phosphonate moieties can also be used in antisense therapy in the selective modification of gene expression. Compounds can be used in urogenital BNCT therapy that contain boron clusters as a means to enhance lipophilicity wherein the boron is not enriched in .sup.10 B, but instead, in the .sup.11 B isotope. The therapy is accomplished by administering the boron-containing compound by any appropriate route, including by intravenous injection, oral delivery or by catheter or other direct means, in such a manner that the compound accumulates in the target tumor. After desired accumulation of the compound in the tumor, the site is irradiated with an effective amount of low energy neutrons.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 .. ANSWER 7 OF 7 USPATFULL on STN

AN 97:10022 USPATFULL  
TI Treatment of urogenital cancer with boron neutron capture therapy  
IN Schinazi, Raymond F., Decatur, GA, United States  
Keane, Thomas E., Dunwoody, GA, United States  
Liotta, Dennis C., McDonough, GA, United States  
PA Emory University, Atlanta, GA, United States (U.S. corporation)  
PI US 5599796 19970204  
AI US 1994-334759 19941104 (8)  
RLI Continuation-in-part of Ser. No. US 1993-161674, filed on 2 Dec 1993  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Wilson, James O.  
LREP Kilpatrick & Cody, Knowles, Sherry M.  
CLMN Number of Claims: 21  
ECL Exemplary Claim: 1,9  
DRWN 9 Drawing Figure(s); 7 Drawing Page(s)  
LN.CNT 2519

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods and compositions for treating urogenital tumors, and particular, cancer of the prostate, bladder, and kidney, with BCNT, are disclosed. Any boron-containing compound that is sufficiently lipophilic to pass through the appropriate urogenital membranes in a quantity high enough to achieve therapy on irradiation with low-energy neutrons can be used. Carboranyl-containing nucleosides and oligonucleotides are particularly suited for use in BNCT of urogenital tumors. Preferred compounds include

5-carboranyl-2'-deoxyuridine (CDU) and 5-o-carboranyl-1-(2-deoxy-2-fluoro- $\beta$ -D-arabinofuranosyl)uracil (CFAU). Nucleosides and oligonucleotides bearing an -O-[(carboran-1-yl)alkyl]phosphate, S-[(carboran-1-yl)alkyl]phosphorothioate, or Se-[(carboran-1-yl)alkyl]phosphoroselenoate in place of the (carboran-1-yl)phosphonate moiety can be used. Oligonucleotides of specific gene sequences that include one or more 3',5'-linking-(carboran-1-yl)phosphonate moieties can also be used in antisense therapy in the selective modification of gene expression. Compounds can be used in urogenital BNCT therapy that contain boron clusters as a means to enhance lipophilicity wherein the boron is not enriched in  $^{10}\text{B}$ , but instead, in the  $^{11}\text{B}$  isotope. The therapy is accomplished by administering the boron-containing compound by any appropriate route, including by intravenous injection, oral delivery or by catheter or other direct means, in such a manner that the compound accumulates in the target tumor. After desired accumulation of the compound in the tumor, the site is irradiated with an effective amount of low energy neutrons.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.